## **CLAIMS:**

A process for preparing a compound of formula (I):

$$XH \cdot H_2N \stackrel{H}{\longrightarrow} S$$
 $CO_2R^1$ 
(I)

wherein R<sup>1</sup> is para-nitrobenzyl or allyl; X is halo;

5 comprising the steps of:

a) heating a trimethylphosphinic compound of formula (IIIa)

wherein

R<sup>1</sup> is para-nitrobenzyl or allyl;

10 R<sup>2</sup> is selected from the group consisting of C<sub>1-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>6-10</sub>arylC<sub>1-6</sub>alkyl and dithianyl;

in a solvent;

to form a compound of formula (II)

$$R^{2} \xrightarrow{N} \xrightarrow{H} \xrightarrow{H} \xrightarrow{H} \xrightarrow{S} \xrightarrow{O} \xrightarrow{CO_{2}R^{1}} (III)$$

15 wherein

R<sup>1</sup> is *para*-nitrobenzyl or allyl;

 $\mbox{R}^2$  is selected from the group consisting of  $\mbox{C}_{\text{1-6}}$  alkyl,  $\mbox{C}_{\text{6-10}}$  aryl,  $\mbox{C}_{\text{6-10}}$  arylC  $_{\text{1-6}}$  alkyl and dithianyl; and

- b) reacting said compound of formula (II) with an acid.
- 20 2. A process according to claim 1, wherein said solvent is selected from the group consisting of toluene, xylene, tetrahydrofuran, methylene chloride and acetonitrile.

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- 3. A process according to claim 1, wherein said acid is phosphorus pentachloride or phosphorus pentabromide; and wherein X is chloro or bromo.
- 4. A process according to claim 1, further comprising the step of preparing said compound of formula (IIIa), by reacting a compound of formula (IIIb)

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wherein said R1 is para-nitrobenzyl or allyl,

said  $R^2$  is selected from the group consisting of  $C_{1-6}$ alkyl,  $C_{6-10}$ aryl,  $C_{6-10}$ aryl $C_{1-6}$ alkyl and dithianyl; and

said X is halo;

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with trimethylphosphine, in a solvent and in the presence of a base.

- 5. A process according to claim 4, wherein said solvent is tetrahydrofuran, acetonitrile or methylene chloride.
- 6. A process according to claim 4, wherein said base is selected from the group consisting of imidazole, 2,6-lutidine, pyridine, N-methylmorpholine and sodium bicarbonate.
- 7. A process according to claim 4, further comprising the step of preparing said compound of formula (IIIb), by reacting a compound of formula (IIIc)

wherein said  $R^1$  is *para*-nitrobenzyl or allyl and said  $R^2$  is selected from the group consisting of  $C_{1-6}$ alkyl,  $C_{6-10}$ aryl,  $C_{6-10}$ aryl $C_{1-6}$ alkyl and dithianyl; with a halogenating agent, in a solvent and in the presence of a base.

- 8. A process according to claim 7, wherein said halogenating agent is thionyl chloride, thionyl bromide, phosphorus trichloride or phosphorus tribromide; and said halo is chloro or bromo.
- 9. A process according to claim 7, wherein said base is selected from the group consisting of pyridine, 2,6-lutidine, N-methylmorpholine and imidazole.
- 10. A process according to claim 7, further comprising the step of preparing said compound of formula (IIIc), by reacting a compound of formula (V)

$$R^{2} \xrightarrow{\text{C}} O \xrightarrow{\text{N}} O H \xrightarrow{\text{CO}_{2}R^{1}} (V)$$

wherein said  $R^1$  is *para*-nitrobenzyl or allyl and said  $R^2$  is selected from the group consisting of  $C_{1-6}$ alkyl,  $C_{6-10}$ aryl,  $C_{6-10}$ aryl $C_{1-6}$ alkyl and dithianyl;

with a compound of formula (IV)

$$Y-CH_2$$
 $O$ 
 $(IV)$ 

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wherein Y is a leaving group selected from the group consisting of bromo, chloro, fluoro, iodo and tosylate; in a solvent.

- 11. A process according to claim 10, wherein said Y is bromo or chloro.
- 12. A process according to claim 10 wherein said solvent is alcohol selected from the group consisting of methanol, ethanol and propanol; methylene chloride; acetone; dimethylformamide or mixtures thereof.
  - 13. A process according to claim 10, further comprising the step of preparing said compound of formula (V) by reacting a compound of formula (VIa)

$$O \xrightarrow{R^2} O \xrightarrow{OH} O \xrightarrow{CO_2R^1} (VIa)$$

- wherein R<sup>1</sup> is *para*-nitrobenzyl or allyl and wherein R<sup>2</sup> is selected from the group consisting of C<sub>1-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>6-10</sub>arylC<sub>1-6</sub>alkyl and dithianyl; with an acid in a solvent.
  - 14. A process according to claim 13 wherein said acid is *para*-toluene sulfonic acid or methane sulfonic acid.
  - 15. A process according to claim 13 wherein said solvent is methylene chloride, tetrahydrofuran, acetone or mixtures thereof.
  - 16. A process according to claim 13 further comprising the step of preparing said compound of formula (VIa) by:

reacting a compound of formula (VIb)

wherein

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R<sup>1</sup> is *para*-nitrobenzyl or allyl;

 $R^2$  is selected from the group consisting of  $C_{1-6}$ alkyl,  $C_{6-10}$ aryl,  $C_{6-10}$ aryl $C_{1-6}$ alkyl and dithianyl;

with a reducing agent selected from the group consisting of sodium borohydride, sodium cyanoborohydride, borane and sodium triacetoxy borohydride; in a solvent.

- 17. A process according to claim 16 wherein said reducing agent is sodium triacetoxy borohydride.
- 18. A process according to claim 16 wherein said solvent is acetic acid, methylene chloride, tetrahydrofuran, isopropanol or mixtures thereof.
  - 19. A process according to claim 13 further comprising the step of preparing said compound of formula (VIa) by reacting a compound of formula (XI)

wherein R<sup>2</sup> is selected from the group consisting of C<sub>1-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>6-10</sub>arylC<sub>1-6</sub>alkyl and dithianyl:

with a compound of formula (X)

$$HO \longrightarrow R^1$$

wherein R<sup>1</sup> is *para*-nitrobenzyl or allyl; in a solvent; in the presence of a base.

20. A process according to claim 16 further comprising the step of preparing said compound of formula (VIb) comprising reacting a compound of formula (VIII)

wherein

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 $R^2$  is selected from the group consisting of  $C_{1-6}$ alkyl,  $C_{6-10}$ aryl,  $C_{6-10}$ aryl $C_{1-6}$ alkyl and dithianyl;

 $L_2$  is a leaving group selected from the group consisting of halo, azide and  $C_{1-6}$ alkoxy; with a compound of formula **(VII)** 

wherein R<sup>1</sup> is para-nitrobenzyl or allyl, in a solvent, in the presence of a base;

further comprising the step of preparing said compound of formula (VIII) by reacting a compound of formula (XI)

(XI)

wherein  $R^2$  is selected from the group consisting of  $C_{1-6}$ alkyl,  $C_{6-10}$ aryl,  $C_{6-10}$ aryl  $C_{1-6}$ alkyl and dithianyl; with a compound of formula (IX)

- wherein each of said L<sub>1</sub> and L<sub>2</sub> is a leaving group selected from the group consisting of halo, azide and C<sub>1.6</sub>alkoxy; in a solvent, optionally in the presence of a base.
  - 21. A process according to claim 16 further comprising the step of preparing said compound of formula (VIb) comprising reacting a compound of formula (VIc)

$$O \xrightarrow{\mathbb{R}^2} \mathbb{R}^3$$

$$CO_2\mathbb{R}^1$$
 (VIc)

wherein

R<sup>1</sup> is *para*-nitrobenzyl or allyl;

 $R^2$  is selected from the group consisting of  $C_{1-6}$ alkyl,  $C_{6-10}$ aryl,  $C_{6-10}$ aryl $C_{1-6}$ alkyl and dithianyl;

R<sup>3</sup> is hydrogen or C<sub>1-6</sub>alkyl; and

R<sup>4</sup> is hydrogen or C<sub>1-6</sub>alkyl; with ozone, in a solvent.

22. A process according to claim 16 further comprising the step of preparing said compound of formula (VIb) comprising reacting a compound of formula (XI)

(XI)

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wherein  $R^2$  is selected from the group consisting of  $C_{1-6}$ alkyl,  $C_{6-10}$ aryl,  $C_{6-10}$ aryl  $C_{1-6}$ alkyl, and dithianyl; with a compound of formula (XII)

wherein

15 each of said L<sub>3</sub> is halo;

R<sup>1</sup> is *para*-nitrobenzyl or allyl;

in a solvent, in the presence of a base.

- 23. A process according to claim 20, wherein each of  $L_1$  and  $L_2$ , wherever each of them occurs, is halo selected from the group consisting of bromo or chloro.
  - 24. A process according to claim 21 wherein R<sup>3</sup> is methyl and R<sup>4</sup> is methyl.
- 25. A process according to any of claims 7, 19-20 or 22 wherein said solvent, wherever it occurs, is methylene chloride, tetrahydrofuran or mixtures thereof.

- 26. A process according to claim 21 wherein said solvent is methylene chloride, tetrahydrofuran, isopropanol or mixtures thereof.
- 27. A process according to any of claims 19-21 wherein said base, wherever it occurs, is selected from the group consisting of disopropylamine, triethylamine, pyridine and 2,6-lutidine.
- 28. A process according to any of claims 1-27, wherein each of said R<sup>1</sup>, wherever it occurs, is *para*-nitrobenzyl.
- 29. A process according to any of claims 1-27, wherein each of said R<sup>1</sup>, wherever it occurs, is allyl.
- 30. A process according to any of claims 1-27, wherein each of said  $R^2$ , wherever it occurs, is  $C_{6-10}$ aryl $C_{1-6}$ alkyl.
- 31. A process according to any of claims 1-27, wherein each of said R<sup>2</sup>, wherever it occurs, is benzyl.
  - 32. A compound of formula (I)

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wherein R<sup>1</sup> is *para*-nitrobenzyl or allyl; and X is halo.

33. A compound of formula (II)

$$R^{2} C HN H H S CO_{2}R^{1}$$
 (III)

**(I)** 

wherein  $R^1$  is para-nitrobenzyl or allyl; and  $R^2$  is  $(C_6\text{-}C_{10})$ aryl $(C_{1\text{-}6})$ alkyl.

34. A compound of formula (III)

$$R^2$$
 $CO_2R^1$ 
(III)

wherein R<sup>1</sup> is para-nitrobenzyl or allyl;

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 $R^2$  is  $(C_6\text{-}C_{10})$ aryl $(C_{1\text{-}6})$ alkyl;

K is hydroxy, halo or -P-(CH<sub>3</sub>)<sub>3</sub>;

wherein the C-K bond is a single bond when K is hydroxy or halo; and a double bond when K is -P-(CH<sub>3</sub>)<sub>3</sub>; and

wherein said compound of formula (III) is selected from the group consisting of compound of formulae (IIIa), (IIIb) and (IIIc):

$$R^{2} \xrightarrow{HN} \xrightarrow{H} \xrightarrow{H} \xrightarrow{H} \xrightarrow{S} \xrightarrow{O} \xrightarrow{O} \xrightarrow{N} \xrightarrow{R^{2}} \xrightarrow{CO_{2}R^{1}} \xrightarrow{\text{(IIIb)}} \text{ and }$$

35. A compound of formula (V)

$$R^{2} \xrightarrow{H} \xrightarrow{H} \xrightarrow{H} \xrightarrow{H} SH$$

$$O \xrightarrow{O} \xrightarrow{N} OH$$

$$CO_{2}R^{1}$$

$$(V)$$

wherein  $R^1$  is para-nitrobenzyl or allyl; and  $R^2$  is  $(C_6\text{-}C_{10})$ aryl $(C_{1\text{-}6})$ alkyl.

36. A compound of formula (VI)

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& &$$

wherein R<sup>1</sup> is para-nitrobenzyl or allyl;

 $R^2$  is  $(C_6-C_{10})$ aryl $(C_{1-6})$ alkyl;

T is hydroxy or >O;

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wherein the C-T bond is a single bond when T is hydroxy; and a double bond when T is >O; and

wherein said compound of formula (VI) is selected from the group consisting of compound of formulae (VIa) and (VIb):

$$\bigcap_{O \to O \to O}^{\mathbb{R}^2} \bigcap_{O \to O}^{\mathbb{R}^1} \bigcap_{O \to O}^{\mathbb{R$$

- 10 37. A compound according to any of claims 32-36, wherein said R<sup>1</sup> is *para*nitrobenzyl.
  - 38. A compound according to any of claims 32-36, wherein said R<sup>1</sup> is allyl.
  - 39. A compound according to any of claims 32-36, wherein said R<sup>2</sup> is benzyl.